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ANSWER 14 OF 29 CAPLUS COPYRIGHT 2006 ACS on STN
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     2000:266111 CAPLUS
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132:278992 DN

Method for preparation of optically active acyloxy compounds by asymmetric TI acylation

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Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

Patent DT

Japanese

FAN. CNT. 1

		KIND	DATE	APPLICATION NO.	DATE
PRAI	JP 2000117115 JP 1998-297849	A2	20000425 19981020	JP 1998-297849	19981020
	CASREACT 132:278992;	MARPA!	T 132:278992		

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$$R^{3}CO-O$$
 OH HO OH
$$R^{4}$$
 R4 III R4 R4 IV

A catalyst system for acylation in prepn. of optically active acyloxy(cyclo)alkanols comprises a catalytic amt. of optically active diamine (2-aminomethylpyrrolidine) (I; R = C1-3 linear or branched alkyl; R1 = C1-4 linear or branched alkyl; R2 = CH2Ph; or R and R1 are same or different; R1 and R2 are linked together to form a ring) and tertiary amine and acyl halide represented by formula R3COX (R3 = X = halo; R3 = C1-6 linear or branched alkyl, aryl). Optically active alcs. (II or III; R3 = same as above; R4 = C1-6 linear or branched alkyl or both R4 are linked to each other to form a ring) are prepd. by \*\*\*selective\*\*\* \*\*\*acylation\*\*\* of one of the HO groups of meso-1,2-diol (IV; R4 = same as above) by the above catalyst system. Thus, a soln. of 306 mg Et3N in 2.5 mL CH2Cl2 and a soln. of 351 mg cis-cyclohexane-1,2-diol in 20 mL CH2Cl2 were added to a mixt. of 400 mg mol. sieve 4A, 3.3 mg (S)-1-methyl-2-[(N-benzyl-N-methyl)aminomethyl]pyrrolidine, and 2.5 mL

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CH2Cl2 and cooled to -78.degree., followed by adding a soln. of 636 mg
 PhCOCl in CH2Cl2, and the resulting mixt. was stirred for 3 h and quenched
 with phosphate buffer (pH 7) to give 83% cis-(+)-2-benzoyloxy-1-
 cyclohexanol (96% ee).
                                                  ***selective***
 optically active acyloxyalkanol prepn; meso diol
 ***acylation*** ; aminomethylpyrrolidine
                                           ***selective***
                  catalyst; acyl halide ***selective***
 ***acylation***
 ***acylation***
Acylation
 Acylation catalysts
    (stereoselective; prepn. of optically active acyloxy(cyclo) alkanols by
   asym. acylation of meso-1,2-diols in presence of optically active
   2-aminomethylpyrrolidine)
38036-63-4P 72582-15-1P
                          108592-06-9P
 RL: BYP (Byproduct); PREP (Preparation)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
37854-29-8P
RL: BYP (Byproduct); SPN (Synthetic preparation); PREP (Preparation)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
208833-00-5
             264122-98-7
RL: CAT (Catalyst use); USES (Uses)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
79-55-0, 1,2,2,6,6-Pentamethylpiperidine 100-44-7, reactions
Triethylamine, reactions 579-43-1, meso-1,2-Diphenyl-1,2-ethanediol
                                     5341-95-7, meso-2,3-Butanediol
1792-81-0, cis-Cyclohexane-1,2-diol
                                          ***7175-49-7*** ,
***7087-68-5*** , Diisopropylethylamine
                                    65173-64-0, cis-4-Cyclohexene-1,2-
Dicyclohexylethylamine
                        35583-15-4
      264122-97-6
RL: RCT (Reactant); RACT (Reactant or reagent)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
                                            202121-54-8P 264122-95-4P
                             202121-53-7P
              202121-52-6P
188057-92-3P
264122-96-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
Dicyclohexylethylamine
RL: RCT (Reactant); RACT (Reactant or reagent)
   (prepn. of optically active acyloxy(cyclo)alkanols by asym. acylation
   of meso-1,2-diols in presence of optically active 2-
   aminomethylpyrrolidine)
7087-68-5 CAPLUS
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2-Propanamine, N-ethyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

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RN 7175-49-7 CAPLUS
CN Cyclohexanamine, N-cyclohexyl-N-ethyl- (9CI) (CA INDEX NAME)

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